

ma-difluoro-methyl GABA, beta-anthracyl-GABA and N-acetyl-GABA are prepared in a manner similar to Example 8, producing Compounds 61 to 70, respectively.

EXAMPLE 40

Self-Stimulation Reward Test

The procedure measured the capacity of a given pharmacological agent to inhibit rats from receiving stimulating currents provided by electrodes implanted in their brains (lateral hypothalamus), Stellar et al., *Pharmacol. Biochem. & Behav.*: 18, 433-442 (1983). The animals will press a lever to receive a current from the implanted electrode in preference to all else. The rate at which they press the lever depends on the intensity of the current (reward) that is being produced by the electrode. The intensity of the current is varied by raising the frequency at which 250 A pulses (0.1 msec duration) are delivered during a 0.5 sec time span in response to a lever press. A plot of the log of the frequency of the delivered pulses vs. the rate of lever presses for an animal gives a self-stimulation reward curve. Injection of a drug which has a tranquilizing effect shifts such a curve to higher frequencies. The shift of this curve (measured at the half-way point) gives a parameter which is expressed as the "Depression of the Self-Stimulation Reward" or DSSR. The maximum DSSR value obtained for the most powerful neuroleptics and tranquilizing compounds tested so far, seldom exceeds 0.3. This is designated as 100%. In the actual tests, the maximum DSSR value obtained for a given dose of drug and the half-life time [duration half-life (DHL)] of its return to 0% (the control state) was measured.

Results of Self-Stimulation Reward Tests

Compound	Dose (μ m/kg)	Max. DSSR	DHL
I (LGVG)*	16	90	30 min
II (CVG)**	40	83	24-48 hr
gamma-vinyl GABA (control)	31	0	0

*linolenoyl gamma-aminobutyryl gamma-vinyl gamma-aminobutyryl glycerol

**cholesteryl gamma-vinyl gamma-aminobutyrate

EXAMPLE 41

Additional Self-Stimulation Reward Test

The procedure of Example 40 was repeated using the 1-linolenoyl-2,3 diGABA ester of glycerol (LG₂), the 1-linolenoyl-2,3-digamma-vinyl GABA (LV₂), the 1-linolenoyl-2-GABA,3-gamma vinyl GABA (LGV), the cholesteryl gamma-vinyl GABA (CV) and the valproyl GABA (ValG). The results are reported in the table below.

Results of Additional Self-Stimulation Reward Test

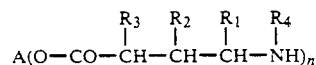
Compound	Dose (mg/kg)	DSSR (%)	DHL (min)
LG ₂	26	100	70
LG ₂	13	100	65
LV ₂	13	100	65
LGV	24	100	65
ValG	20	0	0

Having now fully described this invention, it will be appreciated by those of ordinary skill in the art that the same can be practiced with a wide and equivalent range of compositions, modes of administration, therapeutic

treatments, and the like, without affecting the spirit or scope of the invention or any embodiment thereof.

What is claimed is:

1. A compound of the formula:



wherein:

R₁, R₂, and R₃ are the same or different and are selected from:

- hydrogen;
- lower alkyl groups having one to four carbon atoms;
- substituted lower alkyl group having one to four carbon atoms;
- lower alkenyl groups having one to four carbon atoms;
- substituted lower alkenyl groups having one to four carbon atoms;
- lower alkynyl groups having one to four carbon atoms;
- substituted lower alkynyl groups having one to four carbon atoms;
- aryl groups;
- substituted aryl groups;
- substituted aryl groups;
- hydroxyl groups or hydroxy groups protected with lower acyl or aroyl groups;
- lower acyl groups;
- oxo groups, in which case hydrogen is not present on the carbon atom;
- amino groups;
- substituted amino groups;
- R₁ and R₃ together forming a carbocyclic ring;
- R₂ and R₃ together forming a carbocyclic ring;

R₄ is hydrogen or acyl;

n can vary from 1 to the total number of esterifiable OH groups contained in A;

or pharmaceutically acceptable acid addition salts thereof,

said ester having a Brain Penetration Index greater than 2%.

2. The compound of claim 1 wherein R₁, R₂, and R₃ are each hydrogen.

3. The compound of claim 1, having a Brain Penetration Index greater than 3%.

4. The compound of claim 3 having a Brain Penetration Index greater than 25%.

5. The compound of claim 1, having an n-octanol/water partition coefficient greater than 0.5.

6. The compound of claim 1, wherein A represents the radical of a branched or unbranched saturated aliphatic alcohol having more than one OH substituent and a carbon chain of 2 to 40 carbon atoms.

7. The compound of claim 6, wherein the alcohol has the formula:

